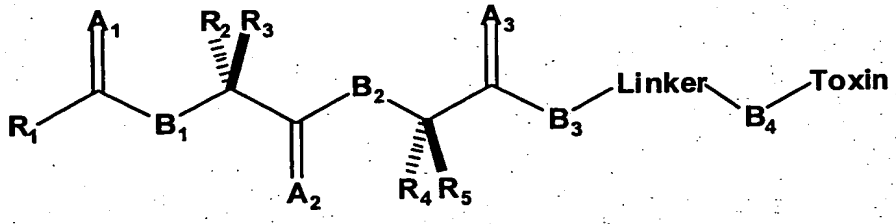
## CLAIMS

We claim:

1. A compound having the structure:




wherein $R_1$, $R_2$, $R_4$, and $R_5$ are independently the same or different and are selected from the group consisting of hydrogen, a substituted or unsubstituted $C_5$-$C_{14}$ aromatic or heteroaromatic (for example: phenylmethylene, 4-hydroxyphenylmethylene, imidazolemethylene, etc.); and a substituted or unsubstituted saturated or unsaturated $C_1$-$C_6$ alkyl (for example: methyl, ethyl, 3-hydroxypropyl, 3-aminopropyl, N-methyl-3-aminoethyl, 2-methoxyethyl, etc.);

wherein $R_3$ is selected from the group consisting of a substituted or unsubstituted aromatic or heteroaromatic (for example: phenylmethylene; triazolemethylene, thiophenemethylene, etc.), and a substituted or unsubstituted saturated or unsaturated $C_1$-$C_6$ alkyl (for example: ethyl, propyl, 2-hydroxyethyl, etc.) and $-CH_2-CH_2-X-CH_3$, wherein X is selected from the group consisting of O, S, NH, $NR_6$, and $CH_2$; where $R_6$ is a lower alkyl such as, for example, methyl or ethyl;

wherein $A_1$ and $A_3$ are independently the same or different and are selected from the group consisting of =O, =S, =NH, =N–OH, or =N–$R_7$, where $R_7$ is hydrogen or a $C_1$-$C_6$ alkyl such as, for example, methyl, ethyl, or methoxymethyl;

wherein $A_2$ is selected from the group consisting of =O, =S; =NH, =N–OH, =N–$R_8$, or =C($R_9$)($R_{10}$), wherein $R_8$, $R_9$, and $R_{10}$ are independently the same or different and are selected from the group consisting of hydrogen or a $C_1$-$C_6$ alkyl such as, for example, methyl, ethyl, or methoxymethyl;

wherein $B_1$ is selected from the group consisting of – O –, – S –, –NH– or – N($R_{11}$)–, wherein $R_{11}$ is selected from the group consisting of hydrogen and a $C_1$-$C_6$ alkyl such as, for example, methyl, ethyl, or methoxymethyl;

wherein $B_2$ is absent or is selected from the group consisting of – O –, – S –, – $N(R_{12})$–, or – $C(R_{13})(R_{14})$–, where $R_{12}$, $R_{13}$, and $R_{14}$ are independently the same or different and are selected from the group consisting of hydrogen or a substituted or unsubstituted saturated or unsaturated $C_1$-$C_6$ alkyl (for example: methyl, ethyl, 3-hydroxypropyl, 3-aminopropyl, N-methyl-3-aminoethyl, 2-methoxyethyl, etc.), wherein when $B_2$ is –$N(R_{12})$– or – $C(R_{13})(R_{14})$– it can be additionally joined through $R_{12}$, $R_{13}$ or $R_{14}$ to $R_4$ or $R_5$ to form a cyclic structure; wherein the fragment –$B_2$-$C(R_4)(R_5)$-$C(=A_3)$ – in its entirety is proline or a proline derivative or analog,

wherein $B_3$ is absent or is selected from the group consisting of – O –, – S –, or – NH–, or – $N(R_{15})$–, wherein $R_{15}$ is selected from the group consisting of hydrogen and a $C_1$-$C_6$ alkyl such as, for example, methyl, ethyl, or methoxymethyl;

wherein $B_4$ is absent or is selected from the group consisting of – O –, – S –, – $N(R_6)$–, and – $C(R_{16})(R_{17})$– and wherein $R_{16}$ and $R_{17}$ are independently the same or different and are selected from the group consisting of hydrogen or a substituted or unsubstituted saturated or unsaturated $C_1$-$C_6$ alkyl such as, for example, methyl, ethyl, or methoxymethyl;

wherein a Linker is absent or is a traceless linker;

and wherein a toxin is an agent that is toxic upon activation by an activating enzyme with the proviso that the toxin is not 5-fluorodeoxyuridine, or any derivative or analog thereof.

2. The compound of claim 1, wherein $R_1$ and $R_2$ are both hydrogen.

3. The compound of claim 2, wherein $R_3$ is –$CH_2$-$CH_2$-X-$CH_3$, wherein X is selected from the group consisting of oxygen, sulfur or methyl.

4. The compound of claim 3, wherein X is sulfur or oxygen.

5. The compound of claim 4, wherein $A_1$ and $A_2$ are both oxygen.

6. The compound of claim 5, wherein $B_1$ is –NH.

7. The compound of claim 1 wherein the linker is selected from the group consisting of $C_6H_4$-$CH_2$- and -$C_6H_4$-$CH_2$-$X_1$-C(=$X_2$)- wherein $X_1$ and $X_2$ are independently the same or different and are selected from the group consisting of –O-, –S – and -N($R_a$), and where $R_a$ is –hydrogen or a lower alkyl; and -$(CH_2)_n$ – $NR_b$-(C=O)- wherein n = 2 or 3 and $R_b$ is hydrogen or a lower alkyl.

8. The compound of claim 7, wherein $B_4$ is absent.

9. The compound of claim 8, wherein the toxin is selected from the group consisting of 2-mercaptopyridine-N-oxide, ciprofloxacin, norfloxacin, nitrogen mustard and the derivatives, analogues and pharmaceutically acceptable salts thereof.

10. The compound of claim 9, wherein $B_2$ is –NH, $B_3$ is –O-, $R_4$ is 2-methyl-propyl and $R_5$ is hydrogen.

11. The compound of claim 9, wherein the toxin is norfloxacin or a derivative, analog or pharmaceutically acceptable salt thereof.

12. The compound of claim 1, wherein the compound is purified.

13. A composition comprising the compound of claim 1 and a carrier.

14. The composition of claim 13, wherein the carrier is a pharmaceutically acceptable carrier.

15. A method for inhibiting the growth of a microorganism, comprising contacting the microorganism with an effective amount of the compound of claim 1.

16. A method for treating a subject comprising administering to the subject an effective amount of the compound of claim 1.

17. A method for identifying potential therapeutic agents, comprising:

(a) contacting a microorganism with a compound of claim 1 under conditions that favor the incorporation of the compound into the microorganism; and

(b) assaying for amount of proliferation of microorganism in comparison to an untreated sample of the microorganism.